# PATENT ABSTRACTS OF JAPAN

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### (54) COSMETIC

### (57) Abstract:

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PROBLEM TO BE SOLVED: To obtain a cosmetic capable of activating skin and scalp and excellent in skin-beautifying effect and hair-growing and hairrestoring effects by using a specific derivative of 10hydroxy-2-decenoic acid which is an active ingredient of royal jelly.

SOLUTION: This cosmetic comprises 10-hydroxy-2decenoic acid of the formula (R is a monosaccharide residue, disaccharide residue or trisaccharide residue). Glucose, galactose, mannose, xylose, fructose, multitose, isomultitose, cellobiose, gentiobiose, etc., is preferably used as R in the formula. The content of glycocide of 10-hydroxy-2-decenoic acid of the formula is 0.001-10wt.%. The cosmetic is excellent in improvement in roughened skin and gloss of skin, stretch of wrinkles, contraction, etc., of slackness.

R OCH2 (CH2) & CH=CECOOH

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#### **CLAIMS**

#### [Claim(s)]

[Claim 1]Cosmetics characterized by \*\*\*\*\*\* containing a glycoside of 10-hydroxy-2-decenoic acid expressed with following general formula (I).

(R expresses monosaccharide residue, disaccharide residue, or trisaccharide residue among a formula.)

[Claim 2]Saccharide residue expressed with R of said general formula (I) Glucose, galactose, Mannose, xylose, fructose, malt sugar, isomaltose, Cellobiose, a gentiobiose, a kojibiose, lamination nari biose, The cosmetics according to claim 1 being one sort chosen from nigerose, SAMBU biose, NEOHESUPERIDOSU, a maltotriose, isomalt triose, cellotriose, and gene thio triose.

[Claim 3]Cosmetics given in claim 1 or any of 2 they are. [ whose content of a glycoside of 10-hydroxy-2-decenoic acid is 0.001 to 10 % of the weight in a total amount to the whole cosmetics ]

[Translation done.]

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#### **DETAILED DESCRIPTION**

[Detailed Description of the Invention] [0001]

[Field of the Invention] About cosmetics, in detail, this invention activates the skin and the scalp and uses the skin cosmetic excellent in beautiful skin effects, such as an improvement of surface deterioration, an improvement of the gloss of skin, expansion of wrinkles, and converge of sagging, and hair cosmetics excellent in hair growing and a hair restoration effect as an offer plug.

[0002]

[Description of the Prior Art] Various kinds of animals-and-plants extract or various kinds of compounds have been blended with a skin cosmetic or hair cosmetics from the former for the purpose of surface deterioration prevention, an improvement of wrinkles, or hair growing, hair fostering, etc. For example, as an animals-and-plants extract, vitamin C, vitamin E, a retinol derivative, minoxidil, etc. are mentioned as compounds, such as extraction extracts, such as a placenta extract, royal jelly, a coix seed, a Scutellaria root, and Hoelen.

[0003]also in this, royal jelly is excellent in a safety viewpoint -- from ancient times -- or -- it is not only used as a \*\*\*\*\* tonic, but it has been appointed to a position of a trust as an active principle in cosmetics, and hair growing and a hair growth stimulant aiming at lustrous skin. [0004]

[Problem(s) to be Solved by the Invention] However, since royal jelly is complicated mixtures, such as lipid, protein, and sugars, its solubility over water, ethanol, or an oil is very low, And since there is a risk of a protein ingredient causing thermal denaturation at the time of cosmetics manufacture, there are many restrictions from loadings or a pharmaceutical form side, and they cannot use it very much practical.

[0005]Then, in order that this invention persons may conquer the technical problem which the above-mentioned royal jelly holds. As a result of adding examination, it traced that the active

principle of royal jelly was 10-hydroxy-2-decenoic acid, and patent application of this was carried out (refer to JP,61-171413,A and JP,61-176510,A).

[0006]However, as patent application was carried out [ above-mentioned ], in addition to excelling in whitening effect, or hair growing and a hair restoration effect, it is also known that there is an operation of antibacterial properties, the increase in a blood stream, etc., but 10-hydroxy-2-decenoic acid. On the other hand, the solubility over the aqueous phase was very low, and the technical problem was still left behind for using it freely as an active principle of cosmetics.

[0007] This invention is made in view of this actual condition, and the restrictions on use of 10-hydroxy-2-decenoic acid are improved, And the skin and the scalp are activated and let it be a technical problem to provide the skin cosmetic excellent in beautiful skin effects, such as an improvement of surface deterioration, an improvement of the gloss of skin, expansion of wrinkles, and converge of sagging, and hair cosmetics excellent in hair growing and a hair restoration effect.

[8000]

[Means for Solving the Problem] If a hydroxyl group portion of 10-hydroxy-2-decenoic acid is glycoside-ized as a result of inquiring wholeheartedly, in order that this invention person may solve an aforementioned problem, Glycoside-ized 10-hydroxy-2-decenoic acid found out having a beautiful skin effect superior to 10-hydroxy-2-decenoic acid, and hair growing and a hair restoration effect, and solubility over aqueous phase not only improves, but it completed this invention based on this knowledge.

[0009]That is, this invention relates to cosmetics containing suitably a glycoside of 10-hydroxy-2-decenoic acid expressed with following general formula (I) 0.001 to 10% of the weight in a total amount to the whole cosmetics.

[0010]

R-OCH<sub>2</sub>(CH<sub>2</sub>) <sub>6</sub>CH=CHCOOH (I)

(R expresses monosaccharide residue, disaccharide residue, or trisaccharide residue among a formula.)

[0011] Hereafter, this invention is explained in detail.

[0012]A glycoside of 10-hydroxy-2-decenoic acid applied to this invention, As shown in the above-mentioned general formula (I), a hydroxyl group of 10-hydroxy-2-decenoic acid is glycoside-ized, Specifically For example, 10-glucosyl 2-decenoic acid, 10-galactosyl 2-decenoic acid, 10-Mann \*\*\*\*\*\*- 2-decenoic acid, 10-xylo \*\*\*\*- 2-decenoic acid, 10-FURUKU tosyl 2-decenoic acid, 10-mull tosyl 2-decenoic acid, 10-iso mull tosyl 2-decenoic acid, 10-cello \*\*\*\*\*\*\*- 2-decenoic acid, 10-gene thio \*\*\*\*\*\*\*- 2-decenoic acid, 10-cozy \*\*\*\*\*\*\*- 2-decenoic acid, 10-Sun \*\*\*\*\*\*\*- 2-decenoic acid, 10-neo Hesse Pelli \*\*\*\*\*- 2-decenoic acid, Although 10-Marthe

Tori Osh Lu 2-decenoic acid, 10-isomalt trio \*\*\*\*- 2-decenoic acid, 10-cello trio \*\*\*\*- 2-decenoic acid, 10-gene thio trio \*\*\*\*- 2-decenoic acid, etc. are mentioned, 10from point of beautiful skin effect, and hair-growing and hair restoration effect-glucosyl 2-decenoic acid, 10-galactosyl 2-decenoic acid, 10-mull tosyl 2-decenoic acid, 10-cello \*\*\*\*\*\*- 2-decenoic acid is preferred, and 10-glucosyl 2-decenoic acid is especially preferred.

[0013]A glycoside of 10-hydroxy-2-decenoic acid of said general formula (I) is compoundable in accordance with a method (J. Chem.Ecol., 8:709-713-1982) of Chiron and R. from 10-hydroxy-2-decenoic acid and acetylated sugar. Although a carboxyl group of 10-hydroxy-2-decenoic acid, a hydroxyl group, or a substance that sugar residue combined with a part of the both is obtained in that case, a glycoside of 10-hydroxy-2-decenoic acid which is an object is separable by column purification etc. The synthesizing method is explained taking the case of 10-glucosyl 2-decenoic acid below.

[0014]10-hydroxy-2-decenoic acid and tetra-O-acetyl-D-glucopyranosyl bromide are made to react in a nitrogen air current for 2 hours, ice-cooling under a mixed catalyst of tetramethylurea and silver triflate in dichloromethane. Then, after making a dichloromethane layer evaporate to dryness and carrying out fractionation isolation of the reactant with silica gel column chromatography, 10-glucosyl 2-decenoic acid was obtained by deacetylating using sodium methylate.

[0015]Other glycoside compounds can also be manufactured according to a described method using a corresponding pyranosyl bromide derivative.

[0016]Next, in cosmetics of this invention, content of a glycoside of 10-hydroxy-2-decenoic acid is 0.005 to 5 % of the weight still more preferably 0.001 to 10% of the weight preferably in a total amount to the whole cosmetics. As for enhancement of an effect, if less than 0.001 % of the weight, even if it will use quantity which a beautiful skin effect, and hair growing and a hair restoration effect fell, and exceeded 10 % of the weight, it is preferred not to see, but to contain in a mentioned range, since it is uneconomical.

[0017]When blending a glycoside of 10-hydroxy-2-decenoic acid concerning this invention into cosmetics, there is no necessity in particular for consideration, but after dissolving a glycoside of 10-hydroxy-2-decenoic acid in a little ethanol suitably, a method of mixing with aqueous phase or an oil phase ingredient is preferred.

[0018] Various ingredients generally used for cosmetics other than the above-mentioned active principle into cosmetics of this invention, That is, one sort or two sorts or more can be blended for ingredients, such as an aqueous ingredient, an oily component, a powder constituent, a surface-active agent (emulsifier), a moisturizer, a thickener, a coloring material, perfume, an anti-oxidant, a pH adjuster, a chelating agent, an antiseptic or an ultraviolet-rays protective agent, an anti-inflammatory agent, and a whitening agent.

[0019]As an aqueous ingredient, water (purified water), lower alcohol (ethanol, propanol,

isopropanol), etc. are mentioned, for example.

[0020]as an oily component — a higher fatty acid group (stearic acid and pulmitic acid.) higher alcohol (cetanol.), such as myristic acid, lauric acid, and those ester waxes (a hard paraffin.), such as lanolin alcohol, stearyl alcohol, and cetostearyl alcohol Microcrystallin wax, a ceresin wax, polyethylene wax, nature, such as beeswax, haze wax, a carnauba wax, and a candelilla low, or a synthetic oil-like substance (squalane.) A liquid paraffin, lanolin or its derivative, olive oil, camellia oil, cottonseed cake oil, oleyl alcohol, castor oil, vaseline, adipic acid diethoxy ethyl ester, a silicone oil, fluorine oil, etc. are mentioned.

[0021]As a powder constituent, an aluminium powder, titanium oxide powder, zinc oxide powder, iron oxide powder, an acrylic granular material, silica beads, talc, a sericite, etc. are mentioned, for example.

[0022]As a surface-active agent, polyoxyethylene monooleate, polyoxyethylene cetyl ether, aluminum stearate, an octyldodecanol, fresh oil type glyceryl monostearate, monostearin acid propylene glycol, etc. are mentioned, for example.

[0023]As a moisturizer, for example Glycerin, propylene glycol, a 1,3-butylene glycol, Dipropylene glycol, ethylene glycol, a 1,4-butylene glycol, Polyhydric alcohol, such as diglycerol, triglycerol, sorbitol and its derivative, and a polyethylene glycol; Glucose, Malt sugar, maltitol, sucrose, fructose, a sleigh toll, erythritol, sorbitol, amylolysis sugar, hyaluronic acid, chondroitin sulfate, hydrolysis collagen, a carboxymethyl kitchen, etc. are mentioned. [0024]As a thickener, a carboxyvinyl polymer, CP jelly, carboxymethyl cellulose, a carrageenan, sodium alginate, a polyvinyl acetate emulsion, polyvinyl alcohol, bentonite,

[0025]As an anti-oxidant, dibutyl-ized hydroxytoluene (BHT), butylated hydroxyanisole (BHA), tocopherol sodium pyrosulfite, sodium BISARUFETO, tocopherol acetate, vitamin E, a rosemary extract, etc. are mentioned, for example.

veegum, synthetic hectorite, etc. are mentioned, for example.

[0026]As a pH adjuster, citrate, lactic acid, tartaric acid, phosphoric acid, etc. are mentioned, for example. As a chelating agent, EDTA (ethylene-diamine-tetraacetic acid), thioglycolic acid, thiolactic acid, thioglycerin, etc. are mentioned, for example.

[0027]As an antiseptic, for example Methyl of p-oxybenzoic acid, ethyl, propyl, Butylester (called methylparaben, ethylparaben, propylparaben, and butylparaben, respectively), ophenylphenol, dehydroacetic acid and its salt, p-cresol, m-cresol, an o-Krol m-xylenol, etc. are mentioned.

[0028]As an ultraviolet-rays protective agent, for example Ascorbic acid or its derivative, isoferulic acid, or its salt, Oxybenzone or its derivative, p-aminobenzoic acid or its derivative, urocanic acid or its derivative, kojic acid, dibenzoylmethane or its derivative, p-methoxy cinnamic acid or its derivative, particulate titanium oxide, a particle zinc oxide, particle iron oxide, etc. are mentioned.

[0029]As an anti-inflammatory agent, glycyrrhetinic acid or its derivative, glycyrrhizic acid or its derivative, bisabolol, geraniin, an horse chestnut extract, an aloe extract, etc. are mentioned, for example.

[0030]As a whitening agent, pantetheine S-sulfonic acid, isoferulic acid, ascorbic acid and its magnesium phosphate salt, arbutin, kojic acid, linolic acid, tranexamic acid, esculin, vitamin A acid, retinol, etc. are mentioned, for example.

[0031]These each ingredient is independent respectively, or two or more sorts can use it, mixing.

[0032]What restriction in particular does not have in a pharmaceutical form of cosmetics of this invention, and is usually used for quasi drugs, cosmetics, etc., For example, although pharmaceutical forms, such as ointment, cream, a milky lotion, oil, a lotion, a pack, a hair tonic, a hair lotion, hair cream, hair treatment, a shampoo, rinse, pomade, and baths, are mentioned, From a point of percutaneous absorption and stability, it can be said that cream, a milky lotion, oil, etc. are especially preferred.

[0033]

[Embodiment of the Invention]An operation of the glycoside of the 10-hydroxy-2-decenoic acid shown by general formula (I) used for below by this invention is explained based on the example of an experiment. The glycoside of the 10-hydroxy-2-decenoic acid used in the example which carries out a postscript is obtained by carrying out it like the above-mentioned. [0034][Homo sapiens beautiful skin effect evaluation test]

(1) milky lotion ratio of the skin cream this invention article 2:after-mentioned example 2 of the sample this invention article 1:after-mentioned example 1 \*\* Skin cream ratio which transposed the 10-glucosyl 2-decenoic acid in the skin cream of the elegance 1:after-mentioned example 1 to 10-hydroxy-2-decenoic acid . \*\* Skin cream ratio which transposed the 10-glucosyl 2decenoic acid in the skin cream of the elegance 2:after-mentioned example 1 to vitamin C \*\* Milky lotion ratio which transposed the 10-mull tosyl 2-decenoic acid in the milky lotion of the elegance 3:after-mentioned example 2 to 10-hydroxy-2-decenoic acid . \*\* Elegance 4: Milky lotion which transposed the 10-mull tosyl 2-decenoic acid in the milky lotion of the aftermentioned example 2 to vitamin E [0035](2) 60 test-method this country women [20] aged 30 and over were divided into each three groups (A-C group) at random, respectively. The skin cream of the comparison article 1 was applied to the fingers of B group, and the skin cream of the comparison article 2 was applied to the fingers of A group for the skin cream of this invention article 1 at the fingers of C group, respectively. On the other hand, the milky lotion of the comparison article 3 was applied to the face of B group, and the milky lotion of the comparison article 4 was applied to the face which is A group for the milky lotion of this invention article 2 at the face of C group, respectively. The day performed spreading for 12 weeks twice, and comparison between groups was performed about the improvement state

[ in / many things / an evaluation element ] of 12 weeks after. The result is shown in Table 1 (skin cream) and 2 (milky lotion).

[0036]

[Table 1]

		評価スケール			
評価要素	群	著明改書	かなり改善	やや改善	変化なし
小じわがある	A群	1	3	6	1 0
	B群	1	1	4	1 4
	C群	0	0	2	1 8
つやがない	A群	1	3	7	9
	B群	1	2	8	1 1
	C群	0	1	3	1 6
肌荒れがある	A 群	2	2	8	8
	B 群	3	3	7	9
	C 群	0	2	3	1 5

[0037]

[Table 2]

		評価スケール			
評価 要素	群	著明改善	かなり改善	本や改善	変化なし
	A群	2	7	б	5
小じわがある	B群	1	4	7	8
	C 群	ø	0	2	18
	A群	0	4	8	8
タルミがある	B群	-0	2	8	9.
	C群	0	0	0	2 0
	A 群	2	4	8	б
つやがない	B群	1	3	6	10
	C群	0	0	2	18
hannes What a see a	A群	3	4	7	6
肌荒れがある	B#	1	2	7	10
	C群	0	0	3	1 7
·	L				L.

[0038]The skin cream of like and the \*\*\*\*\*\* this invention article is compared with the skin cream of 10-hydroxy-2-decenoic acid or the comparison article of vitamin-C combination from the result of Table 1, evaluation criteria — it crosses generally, a better result is obtained, especially an improvement of fine lines and gloss is more remarkable, and it was proved that it excelled in the beautiful skin effect. Similarly, like and the \*\*\*\*\*\* thing which it compares with

the milky lotion of 10-hydroxy-2-decenoic acid or the comparison article of vitamin-E combination, and a more remarkable improvement is found, and is excelled in the beautiful skin effect also about the milky lotion of this invention article were proved from the result of Table 2.

[0039][Homo sapiens hair growing and a hair restoration effect evaluation test]

(1) Sample this invention article 3: Hair tonic this invention article 4 of the after-mentioned example 4: Hair tonic this invention article 5 of the after-mentioned example 5: Hair tonic ratio of the after-mentioned example 6 \*\* Elegance 5: The 10-glucosyl 2-decenoic acid in the hair tonic of the after-mentioned example 4. Hair-tonic control replaced with 10-hydroxy-2-decenoic acid: The hair tonic compensated with the insufficiency with water except for the 10-glucosyl 2decenoic acid in the hair tonic of the after-mentioned example 4 [0040](2) 50 test subjects [ten] who appeal against test-method this country thinning hair disease and a psilosis are divided into each five groups (D-H group), respectively, D group -- the hair tonic of this invention article 5 was used for E group for the hair tonic of this invention article 4, the hair tonic of control of the hair tonic of the comparison article 5 in H group was used for G group for the hair tonic of this invention article 3 at F group, respectively, and the long-term continuous use examination for 24 weeks was carried out. The application method used the usual tonic directions and the method of applying to two days and a head uniformly in a similar manner. Evaluation was performed with the 12th week at the 24th week, and it measured how many test subjects who sensed that the vellus hair and the terminal hair had increased increased in number. I got the test subject to report myself furthermore also about an improvement of the state of the scalp, and reduction of advancing generating at the time of spreading test termination. The result is shown in Table 3 and 4.

[0041]

[Table 3]

	うぶ毛を生じた		硬毛を生じた	
テスト群	12週後	2 4 過後	12週後	2 4 週後
H 群 (コントロ~ル) C 特 E 結 E 結	4名 3名 0名 2名 0名	6名 4名 3名 4名 1名	1.名 0名 0名 0名	3名 2名 1名 2名 0名

[0042]

[Table 4]

	ふけ発生の減少		
テスト群	12週後	2 4 週後	
D 群	5 名	7 名	
E群	3 名	5 名	
下群	1名	5 名	
C 群	3 名	5 名	
H 群 (コントロール)	0 名	1名	

[0043]Like and the \*\*\*\*\*\* thing for which the hair tonic of this invention article is compared with the hair tonic of a comparison article, the persons who produced the vellus hair or the terminal hair by the same concentration are increasing in number, and it has a trichogenous effect more were proved from the result of Table 3. Since the person who the state of the scalp at the time of spreading test termination has been improved, became old in all the this invention articles, and notified reduction in generating existed as shown in the result of Table 4, the hair tonic of this invention article became clear [ also having a hair restoration effect ]. Since dermopathies, such as aggravation of a scalp state and an inflammatory reaction, were observed at all by neither of the test subjects during the long-term spreading examination, it was checked that there is no problem also in safety.

### [0044]

[Example]Below, the example of this invention is described. The loadings in an example are a weight section.

## [0045]

Example 1. skin cream (A) yellow bees wax 3.0. Cetanol 2.0 stearic acid 1.0. vaseline 5.0 olive oil 4.0 squalane . 5.0 Glycerol monostearate 1.0 POE(20) sorbitan monostearate 3.0 10-glucosyl 2-decenoic acid 0.5 (B) glycerin 5.0 polyethylene glycols 3.0 Antiseptic 0.3 Purified water 67.1 (C) perfume 0.1[0046]Each ingredient of <a preparing method (A)> is doubled and heating mixing is carried out at 70 \*\*. Each ingredient of (B) is doubled, heating mixing is carried out at 70 \*\*, a part for the (A) formula is added and emulsified to this, and it cools at 40 \*\*. It cools to ordinary temperature, adding and stirring (C).

# [0047]

Example 2. milk Liquid (A) vaseline 1.0. yellow bees wax 1.0 squalane 5.0 sorbitan monostearate 1.0 POE (20) monostearate 2.0 10-mull tosyl 2-decenoic acid 5.0 (B) carboxyvinyl polymers 0.2 triethanolamine . 0.5 Polyethylene glycol 4.0 Antiseptic 0.3 Purified water 79.9 (C) scents Charge 0.1[0048]It prepared like the method of the preparing method> example 1.

## [0049]

example 3. face toilet (A) POE(20) sorbitan mono- laurate ester 1.5 POE(20) lauryl ester 0.5

ethanol 10.0 gamma-tocopherol 0.0210-galactosyl 2-decenoic acid . 0.05 (B) glycerin 5.0 Propylene glycol 4.0 citrate 0.15 sodium acid citrate 0.1 Purified water 78.68[0050]Each ingredient of <a preparing method (A)> is doubled and it dissolves under a room temperature. On the other hand, it dissolves under a room temperature, and each ingredient of (B) is also added to the ingredient of (A), and solubilizes this.

Example 4. hair tonic (A) 10-glucosyl 2-decenoic acid 5.0 I-menthol 0.2 Ethanol 32.5(B) polyoxyethylene hydrogenated castor oil 0.5 Purified water 61.7 (C) perfume 0.1[0052]Each ingredient of a preparing method (A) and (B) was dissolved, respectively, and after mixing, addition mixing of the (C) was carried out.

[0053]

Example 6. hair tonic (A) 10-glucosyl 2-decenoic acid 0.005 l-menthol 0.2 Ethanol 32.5(B) polyoxyethylene hydrogenated castor oil 0.5 Purified water 66.6995 (C) perfume 0.1[0056]lt prepared like the method of the preparing method example 4.
[0057]

[0059]

example 8. hair treatment (A) liquid paraffin . 2.0 Methylpolysiloxane (10cs). 2.0 cetanol 4.0 10-cello \*\*\*\*\*\*\*- 2-decenoic acid 5.0(B) stearyl chloride trimethylammonium 3.0 chloridation distearyldimethylbenzylammonium 0.5 propylene glycol 5.0 piroctone olamine . 0.25 Purified water 40.0(C) hydrolysis collagen 0.5 hyaluronic-acid 0.01 Cow placenta extraction extract 0.5 diphenhydramine chloride 0.25 Methylparaben 0.2 Purified water 36.69 (D) perfume 0.1[0060] After warming the preparing method (A) and (B) at 80 \*\*, respectively, both were mixed and emulsified, and it cooled to near 40 \*\*, and addition mixing of (C) and the (D) was carried out after that.

[0061]

the example 9. hair shampoo (A) lauryl sulfate triethanolamine 10.0 sodium lauryl sulfate 5.0 palm-oil diethanolamide 2.0 propylene glycol 5.0 piroctone olamine 0.25 nicotinic-acid benzyl . 0.1 10-galactosyl 2-decenoic acid 5.0 (B) trichlorocarbanilide 0.25 Purified water 72.3 (C)

scents Charge 0.1[0062]<The preparing method (A)> was mixed at 80 \*\*, it cooled to near 40 \*\* after that, and addition mixing of (B) and the (C) was carried out.

[0063]When hair growing and a hair restoration effect were investigated by the method of applying like [ cosmetics / of this invention obtained in above-mentioned Examples 7-9 ] Examples 4-6, the effect which was excellent in all was shown. Dermopathies, such as aggravation of the state of the scalp and an inflammatory reaction, were not observed at all. [0064]

[Effect of the Invention] According to this invention, compared with the cosmetics known from the former, it excels not only in the effect of improving skin conditions, such as fine lines, sagging, a shortage of gloss, and dry rough skin, but in hair growing and a hair restoration effect, and also there is also no evil over the skin and it can be used safely.

[Translation done.]